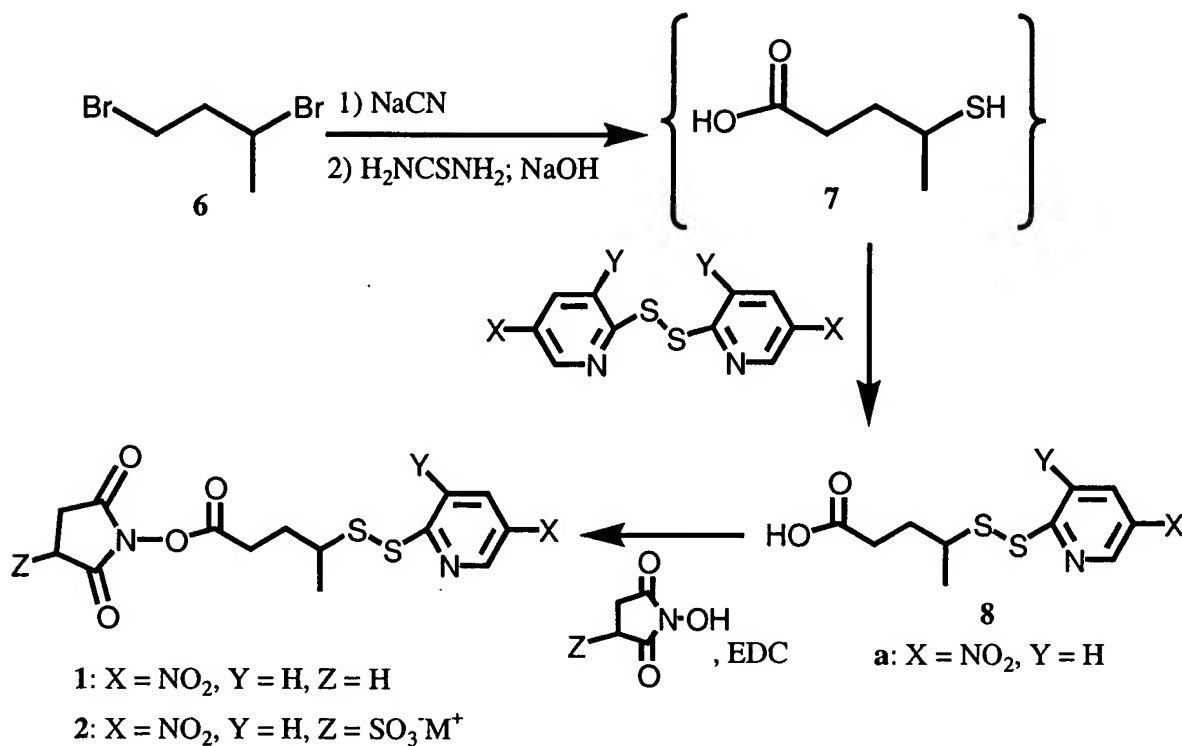


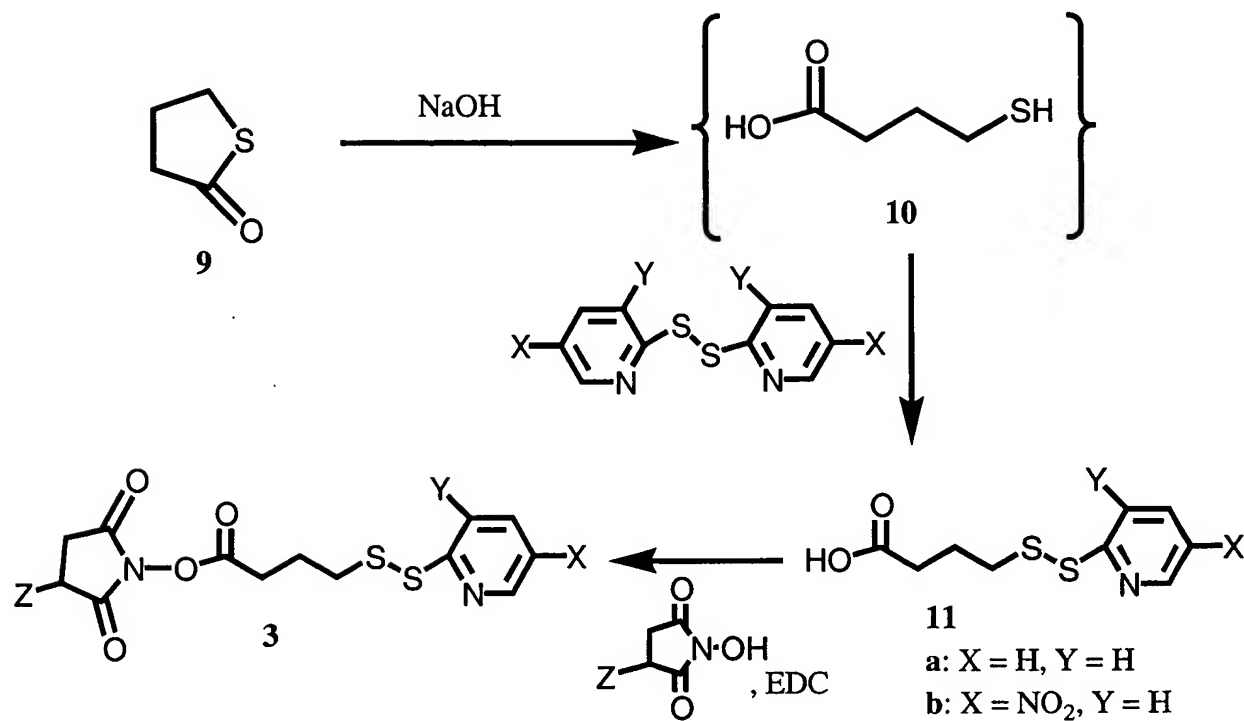
Figure 1



X	Y	Z
NO ₂	H	H
NO ₂	H	SO ₃ ⁻ M ⁺
H	NO ₂	H
H	NO ₂	SO ₃ ⁻ M ⁺
NO ₂	NO ₂	H
NO ₂	NO ₂	SO ₃ ⁻ M ⁺
CONMe ₂	H	H
CONMe ₂	H	SO ₃ ⁻ M ⁺
H	CONMe ₂	H
H	CONMe ₂	SO ₃ ⁻ M ⁺
CONMe ₂	CONMe ₂	H
CONMe ₂	CONMe ₂	SO ₃ ⁻ M ⁺

M = Na, K etc

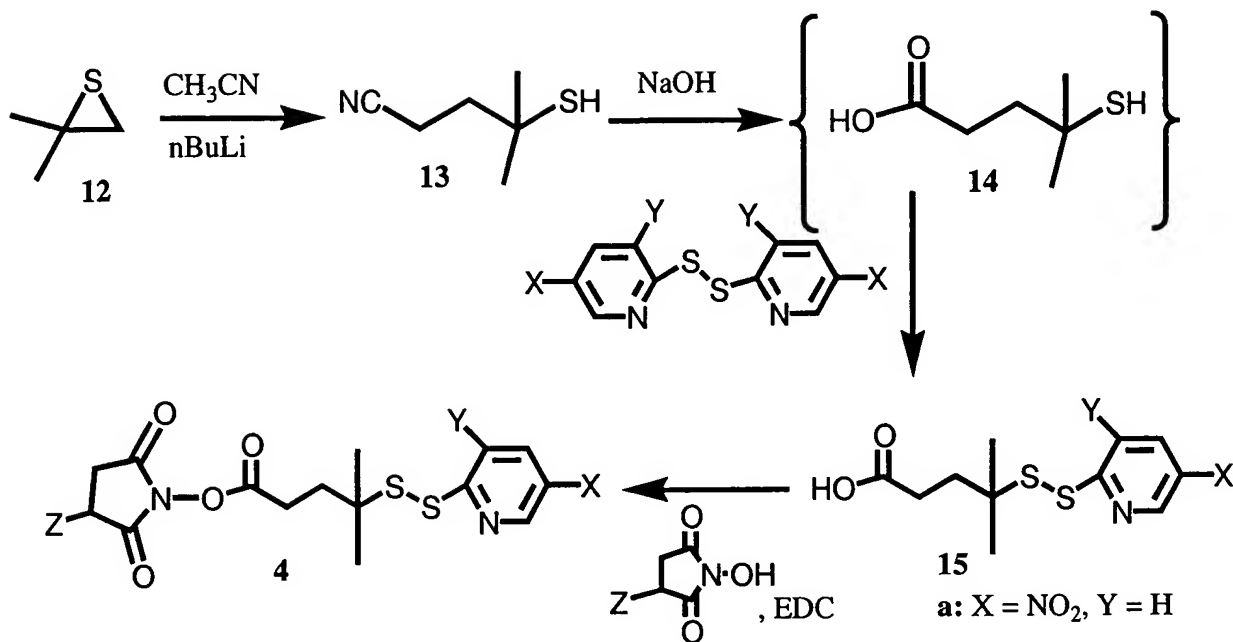
Figure 2



X	Y	Z
H	H	H (Compound 3a)
NO ₂	H	H (Compound 3b)
NO ₂	H	SO ₃ ⁻ M ⁺ (Compound 3c)
H	NO ₂	H
H	NO ₂	SO ₃ ⁻ M ⁺
NO ₂	NO ₂	H
NO ₂	NO ₂	SO ₃ ⁻ M ⁺

M = Na, K etc

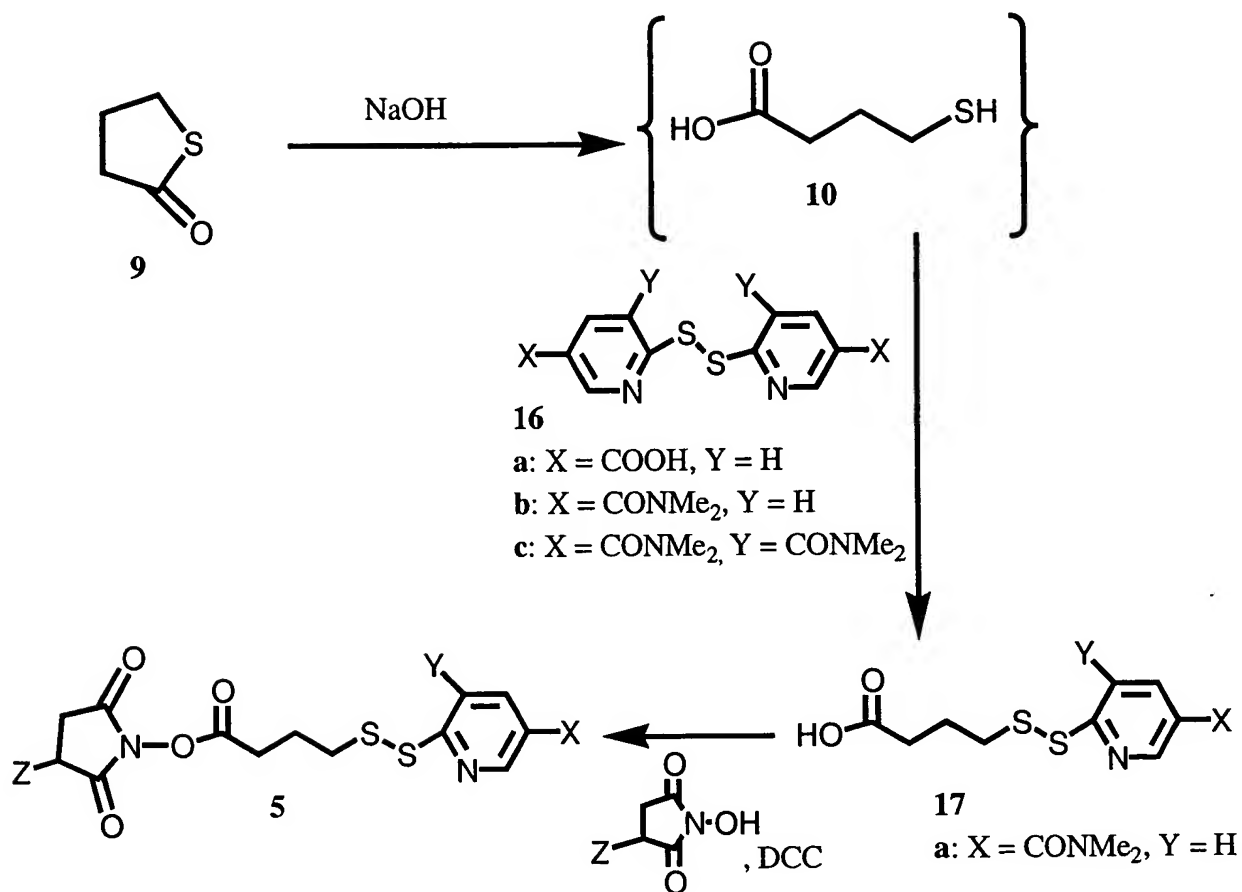
Figure 3



X	Y	Z
NO_2	H	H (Compound 4a)
NO_2	H	SO_3^-M^+ (Compound 4b)
H	NO_2	H
H	NO_2	SO_3^-M^+
NO_2	NO_2	H
NO_2	NO_2	SO_3^-M^+
H	H	H
CONMe_2	H	H
CONMe_2	H	SO_3^-M^+
H	CONMe_2	H
H	CONMe_2	SO_3^-M^+
CONMe_2	CONMe_2	H
CONMe_2	CONMe_2	SO_3^-M^+

M = Na, K etc

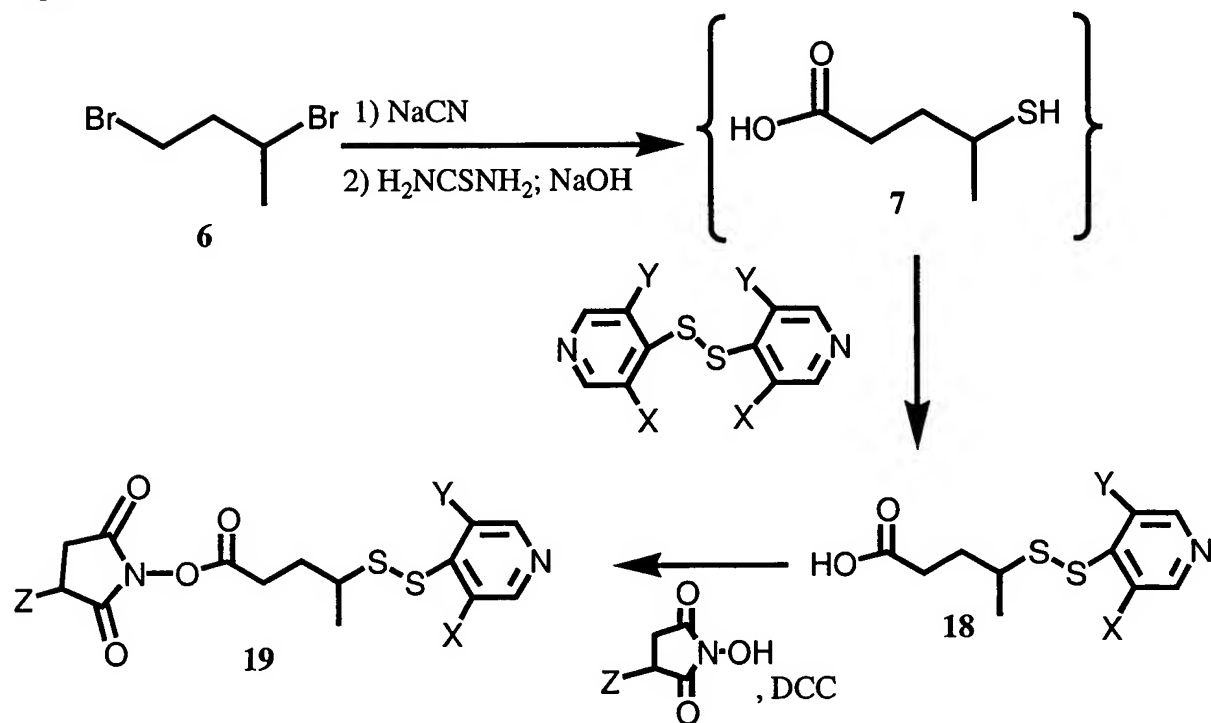
Figure 4



X	Y	Z
CONMe ₂	H	H (Compound 5a)
CONMe ₂	H	SO ₃ ⁻ M ⁺ (Compound 5b)
H	CONMe ₂	H
H	CONMe ₂	SO ₃ ⁻ M ⁺
CONMe ₂	CONMe ₂	H
CONMe ₂	CONMe ₂	SO ₃ ⁻ M ⁺

M = Na, K etc

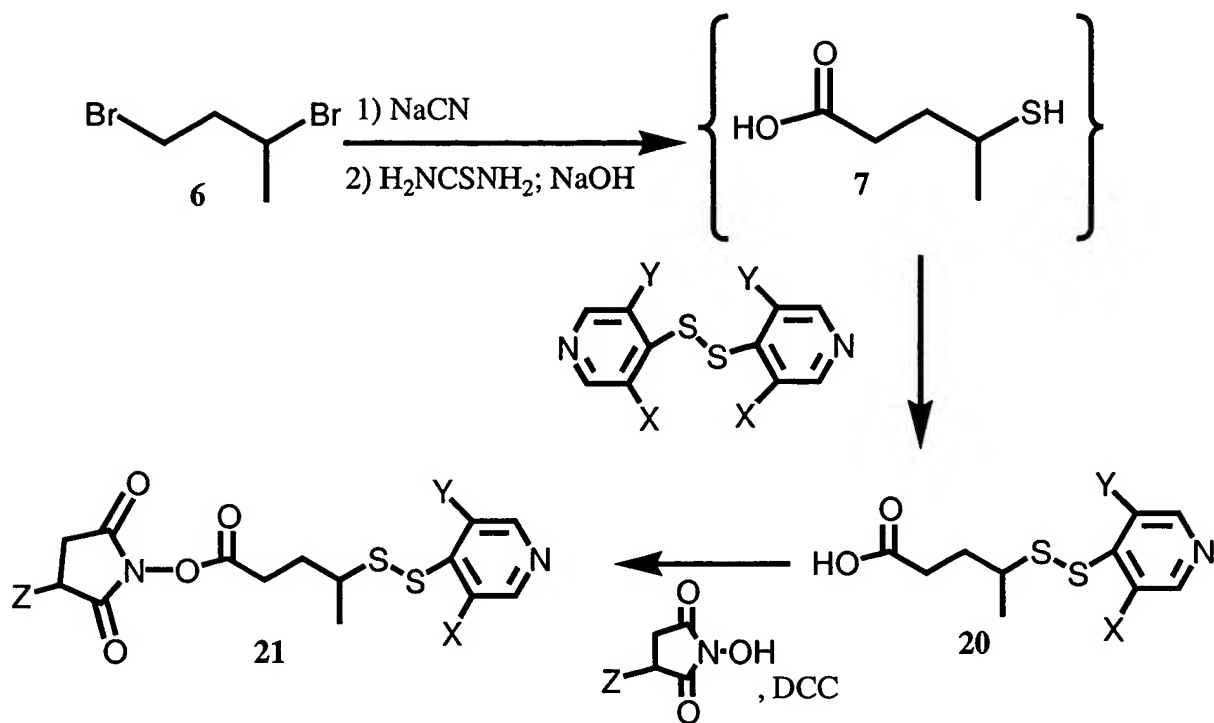
Figure 5



X	Y	Z
CONMe ₂	H	H
CONMe ₂	H	SO ₃ ⁻ M ⁺
CONMe ₂	CONMe ₂	H
CONMe ₂	CONMe ₂	SO ₃ ⁻ M ⁺

M = Na, K etc

Figure 6



X Y Z

NO₂ H H

NO₂ H SO₃⁻M⁺

NO₂ NO₂ H

NO₂ NO₂ SO₃⁻M⁺

M = Na, K etc

Figure 7. Comparison of SSNPP and SPP for efficiency of conjugation with increasing drug equivalents in the conjugation reaction.

a) Drug per antibody ratio; b) % efficiency of conjugation based on linker to antibody ratios of 4.2 for SSNPP and 5.6 for SPP.

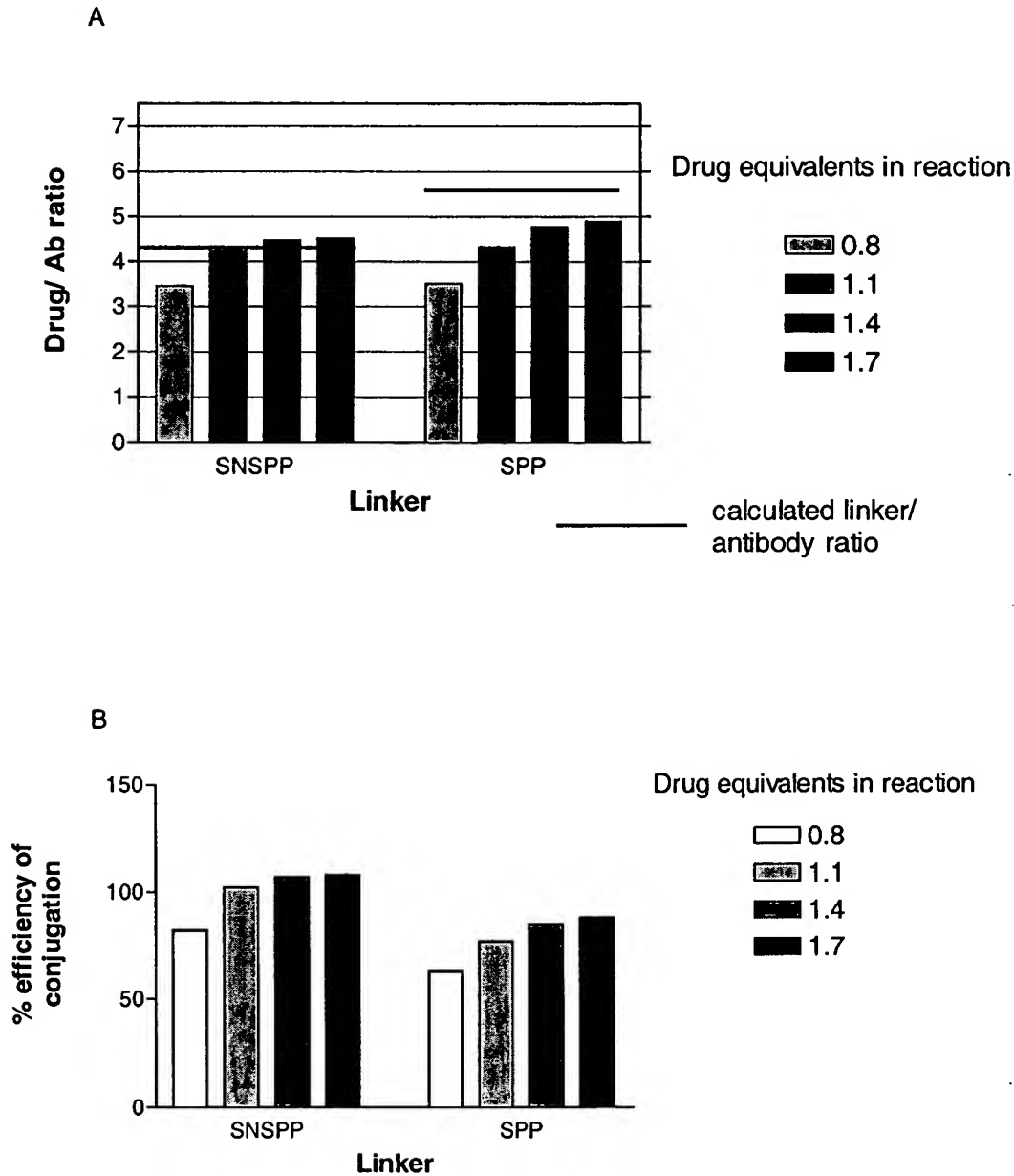


Figure 8. Time course for thiol exchange with SSNPP and SPP linker at pH 7.4
Conjugation was conducted at pH 7.4 using a 1.1-fold molar excess of DM1 per linker.

